

Flavonoids with iNOS inhibitory activity from *Pogonatherum crinitum*.

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Abstract

Pogonatherum crinitum has long been used as a folk remedy for the treatment of many inflammatory diseases in Taiwan, and till now there is still no report concerning its active principles as well as their pharmacological studies. That prompted us to investigate the bioactive constituents of *Pogonatherum crinitum*. Two novel chemical entities, luteolin 6-C-beta-boivinopyranoside (1) and 6-trans-(2''-O-alpha-rhamnopyranosyl)ethenyl-5,7,3',4'-tetrahydroxyflavone (2), along with luteolin (3), kaempferol (4), luteolin 6-C-beta-fucopyranoside (5), kaempferol 3-O-alpha-L-rhamnopyranoside (6), luteolin 6-C-beta-glucopyranoside (7), rutin (8) and kaempferol 3-O-rutinoside (9) were isolated from this plant, and identified by spectroscopic analysis. The effect of these compounds on the inhibition of NO production in LPS-activated macrophages was further evaluated. All these compounds inhibited NO production in activated RAW 264.7 cells to various degrees without affecting the cellular viability. Among the compounds examined, both compounds 1 and 2 suppressed LPS-induced NO production, with E(max) values of 99.51+/-0.23% and 92.41+/-3.22%, respectively. The most potent compounds, 3 and 4, inhibited NO production with IC(50) values of 10.41+/-0.02 microM and 10.61+/-0.44 microM, respectively. These effects were attributed to suppression of mRNA expression of inducible NO synthase (iNOS). Our results clearly demonstrated that these naturally occurring iNOS inhibitors may be beneficial to the treatment of inflammatory diseases associated with overproduction of NO, which provides an explanation, at least a part, for the anti-inflammatory property of *Pogonatherum crinitum*.